

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 GSK256066

 Cat. No.
 :
 PC-61617

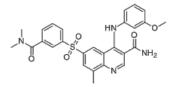
 CAS No.
 :
 801312-28-7

 Molecular Formula
 :
 C₂₇H₂₆N₄O₅S

 Molecular Weight
 :
 518.588

Target : Phosphodiesterase (PDE)

Solubility : 10 mM in DMSO



Biological Activity

GSK256066 is a highly potent, selective **PDE4B** inhibitor with pIC50 of 11.1, apparent IC50 of 3.2 pM, inhibits PDE4 isoforms A-D with equal affinity.

GSK256066 displays >1,000-fold selectivity over PDE1, 2, 3, 5, 6, and 7.

GSK256066 inhibits LPS induced production of TNF-alpha from isolated human peripheral blood mononuclear cells with pIC50 of 11.1.

GSK256066 inhibits LPS-induced pulmonary neutrophilia with ED50 of 1.1 ug/kg (aqueous suspension) and 2.9 ug/kg (dry powder formulation) in rats.

GSK256066 shows exceptional potency in vitro and in vivo for treatment for COPD and asthma.

References

Woodrow MD, et al. **Bioorg Med Chem Lett.** 2009 Sep 1;19(17):5261-5.

Tralau-Stewart CJ, et al. J Pharmacol Exp Ther. 2011 Apr;337(1):145-54.

Singh D, et al. *Respir Res.* 2010 Mar 1;11:26.

Nials AT,et al. *J Pharmacol Exp Ther*. 2011 Apr;337(1):137-44.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com